



## INFORMATION DISCLOSURE STATEMENT

Applicant : David M. Weiner, et al.  
App. No : 10/759,561  
Filed : January 15, 2004  
For : SELECTIVE SEROTONIN 2A/2C  
RECEPTOR INVERSE AGONISTS AS  
THERAPEUTICS FOR  
NEURODEGENERATIVE DISEASES  
Examiner : Leonard M. Williams  
Art Unit : 1617

## CERTIFICATE OF MAILING

I hereby certify that this correspondence and all marked attachments are being deposited with the United States Postal Service as first-class mail in an envelope addressed to: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450, on

August 6, 2007

(Date)

Ryan E. Melnick, Reg. No. 58,621

Mail Stop Amendment  
Commissioner for Patents  
P.O. Box 1450  
Alexandria, VA 22313-1450

Dear Sir:

Enclosed for filing in the above-identified application is a PTO/SB/08 Equivalent listing 181 references to be considered by the Examiner. Also enclosed are 154 foreign patent references and/or non-patent literature as listed on the Information Disclosure Statement.

With respect to Reference 34, an English translation was not available. However, Reference 76 filed herewith, is believed to contain the relevant portions in English.

With respect to Reference 31, an English translation was not available. However, U.S. Patent No. 5,025,013, Reference 7 filed herewith, is believed to contain the relevant portions in English.

With respect to Reference 54, an English translation was not available. However, U.S. Patent Publication No. 2004-0106600 A1 at paragraph [0247] on page 15, filed with the IDS dated January 29, 2007 (Reference 8) is believed to contain a concise explanation of the relevance of the reference.

With respect to Reference 62, an English translation was not available. However, U.S. Patent No. 6,911,452 at column 18, lines 24-42, filed with the IDS dated January 29, 2007 (Reference 5), is believed to contain a concise explanation of the relevance of the reference.

**Appl. No.** : 10/759,561  
**Filed** : January 15, 2004

**Docket No.** ACADIA.030A  
**Customer No.** 20,995

With respect to Reference 83 an English translation was not available. However, Applicants believe the relevant portions are the chemical structure shown therein.

With respect to Reference 127, an English translation was not available. However, U.S. Patent Publication No. 2004-0106600 A1 at paragraph [0244] on page 15, filed with the IDS dated January 29, 2007 (Reference 8) is believed to contain a concise explanation of the relevance of the reference.

With respect to Reference 141, an English translation was not available. However, U.S. Patent Publication No. 2004-0106600 A1 at paragraph [0247] on page 15, filed with the IDS dated January 29, 2007 (Reference 8) is believed to contain a concise explanation of the relevance of the reference.

With respect to Reference 153, an English translation was not available. However, U.S. Patent Publication No. 2004-0106600 A1 at paragraph [0244] on page 15, filed with the IDS dated January 29, 2007 (Reference 8) is believed to contain a concise explanation of the relevance of the reference.

This Information Disclosure Statement is being filed before the receipt of a first Office Action on the merits, and presumably no fee is required. If a first Office Action on the merits was mailed before the mailing date of this Statement, the Commissioner is authorized to charge the fee set forth in 37 C.F.R. § 1.17(p) to Deposit Account No. 11-1410.

Respectfully submitted,

KNOBBE, MARTENS, OLSON & BEAR, LLP

Dated: 8-6-07

By: Ryan E. Melnick

Ryan E. Melnick  
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# INFORMATION DISCLOSURE STATEMENT BY APPLICANT

Application No.	10/759,561
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Examiner	Williams, Leonard M.
Attorney Docket No.	ACADIA.030A

(Multiple sheets used when necessary)

SHEET 1 OF 10

## U.S. PATENT DOCUMENTS

Examiner Initials	Cite No.	Document Number Number - Kind Code (if known) Example: 1,234,567 B1	Publication Date MM-DD-YYYY	Name of Patentee or Applicant	Pages, Columns, Lines Where Relevant Passages or Relevant Figures Appear
	1	4,138,492	2/6/1979	Noverola, et al.	
	2	4,255,432	3/10/1981	Kluge, et al.	
	3	4,332,804	6/1/1982	Clark	
	4	4,353,900	10/12/1982	Clark	
	5	4,353,901	10/12/1982	Clark	
	6	4,367,232	1/4/1983	Boix-Iglesias, et al.	
	7	5,025,013	6/18/1991	Barreau, et al.	
	8	5,214,055	5/25/1993	Peglion, et al.	
	9	5,461,066	10/24/1995	Gericke, et al.	
	10	5,595,872	1/21/1997	Wetterau II, et al.	
	11	5,621,010	4/15/1997	Sueda, et al.	
	12	5,795,894	8/18/1998	Shue, et al.	
	13	5,869,488	2/9/1999	Shue, et al.	
	14	6,107,324	8/22/2000	Behan, et al.	
	15	6,140,509	10/31/2000	Behan, et al.	
	16	7,022,698	4/4/2006	Hamied, et al.	
	17	7,041,667	5/9/2006	Armour, et al.	
	18	2004/0213816 A1	10/28/2004	Weiner, et al.	
	19	2005/0148018 A1	7/7/2005	Weiner, et al.	
	20	2005/0244862 A1	11/3/2005	Brann	
	21	2006/0106063 A1	5/18/2006	Thygesen, et al.	
	22	2006/0111399 A1	5/25/2006	Thygesen, et al.	
	23	2006/0205780 A1	9/14/2006	Thygesen, et al.	
	24	2006/0205781 A1	9/14/2006	Thygesen, et al.	
	25	2006/0264466 A1	11/23/2006	Weiner, et al.	
	26	2006/0286610 A1	12/21/2006	Brann	
	27	2006/0292606 A1	12/28/2006	Brann	

Examiner Signature

Date Considered

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SHEET 2 OF 10

## FOREIGN PATENT DOCUMENTS

Examiner Initials	Cite No.	Foreign Patent Document Country Code-Number-Kind Code Example: JP 1234567 A1	Publication Date MM-DD-YYYY	Name of Patentee or Applicant	Pages, Columns, Lines Where Relevant Passages or Relevant Figures Appear	T <sup>1</sup>
	28	CA 984843	3/2/1976	John Wyeth & Brother Limited		
	29	EP 0 005 318 A1	11/14/1979	Janssen Pharm.		
	30	EP 0 061 333 A1	9/29/1982	Syntex (U.S.A.) Inc.		
	31	EP 0 379 441 A1	7/25/1990	Rhone-Poulenc Sante		
	32	EP 0 548 015 A1	6/23/1993	Ciba-Geigy AG		
	33	EP 0 625 507 A2	11/23/1994	Nisshin Flour Milling Co., Ltd.		
	34	HU 157325	3/19/1998	Rudolf, et al.		
	35	WO 97/08166 A1	3/6/1997	Schering Corporation		
	36	WO 97/11940 A1	4/3/1997	Eli Lilly and Company		
	37	WO 98/11128 A1	3/19/1998	Dr. Karl Thomae GMBH		
	38	WO 98/17646 A1	4/30/1998	Dr. Karl Thomae GMBH		
	39	WO 98/44921 A1	10/15/1998	Merck & Co., Inc.		
	40	WO 99/52927 A1	10/21/1999	Arena Pharmaceuticals, Inc.		
	41	WO 00/23076 A1	4/27/2000	Suntory Limited		
	42	WO 00/56335 A1	9/28/2000	The Regents of the University of California		
	43	WO 00/69810 A1	11/23/2000	Novo Nordisk A/S		
	44	WO 01/44191 A1	6/21/2001	Societe de Conseils de Recherches et D'Applications Scientifiques (S.C.R.A.S.)		
	45	WO 01/87839 A1	11/22/2001	Astrazeneca AB		
	46	WO 02/079186 A2	10/10/2002	F. Hoffman-La Roche AG		
	47	WO 03/070246 A1	8/28/2003	Pfizer Products Inc.		
	48	WO 2005/112927 A	12/1/2005	Acadia Pharmaceuticals Inc.		
	49	WO 2006/036874 A1	4/6/2006	Acadia Pharmaceuticals Inc.		
	50	WO 2006/037043 A1	4/6/2006	Acadia Pharmaceuticals Inc.		

## NON PATENT LITERATURE DOCUMENTS

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	51	ADAM, et al. 1989. Effects of repeated ritanserin on middle-aged poor sleepers. <i>Psychopharmacology</i> , 99:219-221.	
	52	ADELL, et al. 2005. Strategies for producing faster acting antidepressants. <i>Drug Discovery Today</i> , 10(8):578-585.	
	53	AKIN, et al. 2004. Decreased serotonin 5-HT <sub>2A</sub> receptor-stimulated phosphoinositide signaling in fibroblasts from melancholic depressed patients. <i>Neuropsychopharmacology</i> , 29:2081-2087.	
	54	ALVISI, N. 1892. Sulla formazione di derivati pirazolici dalle dicloridrine e dalla tribromidrina della glicerina ordinaria, <i>Gazz. Chem. Ital.</i> 22:158-168.	
	55	ANTILLA, et al. 2001. Copper-catalyzed coupling of arylboronic acids and amines. <i>Organic Letters</i> , 3(13):2077-2079.	
	56	ANTILLA, et al. 2002. The copper-catalyzed N-arylation of indoles. <i>J. Am. Chem. Soc.</i> , 124:11684-11688.	
	57	ARCHIBALD, et al., 1974 "Benzamidopiperdines. 2. Heterocyclic Compounds Related to Indoramin" <i>J. Medicinal Chemistry</i> , 17(7):736-739	
	58	ARCHIBALD, et al., 1974 "Benzamidopiperdines. 3. Heterocyclic Compounds Related to Indoramin" <i>J. Medicinal Chemistry</i> , 17(7):-739-744	
	59	ARCHIBALD, et al., 1974 "1,4-Bis-(2-indol-3-ylethyl)piperdines" <i>J. Medicinal Chemistry</i> , 17(7):-745-747	
	60	ARTICO, et al. 1992. Aromatic hydrazides as specific inhibitors of bovine serum amine oxidase. <i>Eur. J. Med. Chem.</i> , 27:219-228.	
	61	BAKSHI, et al. 1994. Clozapine antagonizes phencyclidine-induced deficits in sensorimotor gating of the startle response. <i>The Journal of Pharmacology and Experimental Therapeutics</i> , 271(2):787-794.	
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	65	BLAKLEY, et al. 2001. Bidirectional changes in ethanol consumption in rats with site-specific antisense down-regulation of 5-hydroxytryptamine <sub>2A</sub> receptors in brain. <i>The Journal of Pharmacology and Experimental Therapeutics</i> , 299(1):277-289.	
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	69	BUCHI et al. 1969. Synthesis of (±)-nuciferal. <i>J. Org. Chem.</i> , 34(4):1122-1123.	

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	70	BUU-HOI, et al. 1951. Further studies in the alkylation of phenols and thiophenols, <i>J. Org. Chem.</i> , 16:988-994.	
	71	CACCHI, et al. 2003. Palladium-catalyzed reaction of aryl iodides with acetic anhydride. A carbon monoxide-free synthesis of acetophenones. <i>Organic Letters</i> , 5(3):289-291.	
	72	CARMAN, et al. 1998. A further synthesis of an analogue of the antifungal/antiherbivore lipid from avocado. <i>Aust. J. Chem.</i> , 51:955-959.	
	73	CARON, et al. 1981. Synthesis and antihypertensive activity of a series of 8-substituted 1-Oxa-3,8-diazaspiro[4.5]decan-2-ones. <i>J. Med. Chem.</i> , 24:1320-1328.	
	74	CARROLL, et al. 1992. Synthesis and muscarinic receptor activity of ester derivatives of 2-substituted 2-azabicyclo[2.2.1]heptan-5-ol and -6-ol. <i>J. Med. Chem.</i> , 35:2184-2191.	
	75	CATARZI, et al. 2001. Synthesis, ionotropic glutamate receptor binding affinity, and structure-activity relationships of a new set of 4,5-dihydro-8-heteroaryl-4-oxo-1,2,4-triazolo[1,5-a]quinoxaline-2-carboxylates analogues of TQX-173. <i>J. Med. Chem.</i> , 44:3157-3165.	
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	79	CLIFTON, et al. 1982. Arylethanolamines Derived from Salicyclamide with $\alpha$ - and $\beta$ -Adrenoceptor Blocking Activities. Preparation of Labetalol, its Enantiomers, and Related Salicyclamides. <i>J. Med. Chem.</i> , 25:670-679.	
	80	DeCLERCK, et al. 1987. Increase in slow-wave sleep in humans with the serotonin-S <sub>2</sub> antagonist ritanserin. <i>Current Therapeutic Research</i> , 41(4):427-432.	
	81	DUNN, et al. 1986. Analgetic and antiinflammatory 7-arylbzofuran-5-ylacetic acids and 7-arylbzothiophene-5-ylacetic acids. <i>J. Med. Chem.</i> , 29:2326-2329.	
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	83	ERMAKOV, et al. 1981. Use of Mass spectrometry in structural and stereochemical studies. <i>Chemistry of Heterocyclic Compounds</i> , 1:72-77.	
	84	FINAR, et al. 1954. The preparation and properties of some derivatives of 1-phenylpyrazole, <i>J. Chem. Soc.</i> , pp. 2293-2298.	
	85	FIŠERA, et al. 1994. Synthesis of spiro-substituted 1,3-oxazines by a new sequence leading to spiroheterocycles. <i>Monatshefte für Chemie</i> , 125:909-919.	
	86	GAINETDINOV, et al. 2001. Genetic animal models: Focus on schizophrenia. <i>Trends in Neurosciences</i> , 24(9):527-533.	
	87	GAWLEY, R. E., & Aubé, J. 1996. <i>Principles of Asymmetric Synthesis</i> . New York: Pergamon.	

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	88	GILLMAN, P. K. 2005. Monoamine oxidase inhibitors, opioid analgesics and serotonin toxicity. <i>British Journal of Anaesthesia</i> , 95(4):434-441.	
	89	GOOßEN, et al. 2001. Palladium-catalyzed synthesis of aryl ketones from boronic acids and carboxylic acids or anhydrides. <i>Angew. Chem. Int. Ed.</i> , 40:3458-3460.	
	90	GSTACH et al. 1990. Rearrangement of 3,3-disubstituted 1-aryl-4,5-dihydro-5-oxo-3H-1,2,4-triazolium tetrafluoroborates; Part 1. A versatile synthesis of 1,5-disubstituted 2-aryl-1,2-dihydro-3H-1,2,4-triazol-3-one tetrafluoroborates. <i>Synthesis</i> , pp. 803-808.	
	91	GUTHRIE, et al. 1993. The tetrahedral intermediate from the hydration of N-methylformanilide. <i>Can. J. Chem.</i> , 71:2109-2122.	
	92	HARPER, et al. 1964. The chemistry and pharmacology of some 4-aminopiperidines and their derivatives. <i>J. Med. Chem.</i> , 44:729-732.	
	93	HARTWIG, J. F. 1998. Transition metal catalyzed synthesis of arylamines and aryl ethers from aryl halides and triflates: Scope and mechanism. <i>Angew. Chem. Int. Ed.</i> , 37:2047-2067.	
	94	HICKINBOTTOM, W. J. 1930. The preparation of secondary alkylaryl-amines and their purification. <i>J. Chem. Soc.</i> , pp. 992-994.	
	95	HIRST, et al. 1895. A method for preparing the formyl derivatives of the aromatic amines. <i>J. Chem. Soc.</i> , 67:829-831.	
	96	IDZIKOWSKI, et al. 1991. A dose response study examining the effects of ritanserlin on human slow wave sleep. <i>Br. J. Clin. Pharmacol.</i> , 31:193-196.	
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	98	JAEGGER, et al. 1941. Two ketones of the stilboestrol group. <i>J. Chem. Soc.</i> , 744-747.	
	99	KANAYAMA, et al. 2005. New treatment of lumbar disc herniation involving 5-hydroxytryptamine <sub>2A</sub> receptor inhibitor: A randomized controlled trial. <i>J. Neurosurg: Spine</i> , 2:441-446.	
	100	KLAPARS, et al. 2001. A general and efficient copper catalyst for the amidation of aryl halides and the N-arylation of nitrogen heterocycles. <i>J. Am. Chem. Soc.</i> , 123:7727-7729.	
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	102	KUEHNE, et al. 1991(a). Enantioselective syntheses of vinblastine, leurosine, vincovalline, and 20'-epi-vincovalline. <i>J. Org. Chem.</i> , 56(2):513-528.	
	103	KUEHNE, et al. 1991(b). Total syntheses of <i>Yohimbe</i> alkaloids, with stereoselection for the normal, allo, and 3-epiallo series, based on annulations of 4-methoxy-1,2-dihydropyridones. <i>J. Org. Chem.</i> , 56(8):2701-2712.	
	104	KWONG, et al. 2002(a). Copper-catalyzed coupling of alkylamines and aryl iodides: An efficient system even in an air atmosphere. <i>Organic Letters</i> , 4(4):581-584.	
	105	KWONG, et al. 2002(b). A general, efficient, and inexpensive catalyst system for the coupling of aryl iodides and thiols. <i>Organic Letters</i> , 4(20):3517-3520.	

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	106	KWONG, et al. 2003. Mild and efficient copper-catalyzed amination of aryl bromides and primary alkylamines. <i>Organic Letters</i> , 5(6):793-796.	
	107	LANDINI, et al. 1974. A convenient synthesis of primary and secondary dialkyl and aryl alkyl sulfides in the presence of phase-transfer catalysts. <i>Synthesis</i> , pp. 565-566.	
	108	LANDOLT, et al. 1999. Serotonin-2 receptors and human sleep: Effect of a selective antagonist on EEG power spectra. <i>Neuropsychopharmacology</i> , 21(3):455-466.	
	109	LI, G. Y. 2002. Highly active, air-stable palladium catalysts for the C-C and C-S bond-forming reactions of vinyl and aryl chlorides: Use of commercially available [(t-Bu) <sub>2</sub> P(OH)] <sub>2</sub> PdCl <sub>2</sub> , [(t-Bu) <sub>2</sub> P(OH)PdCl <sub>2</sub> ] <sub>2</sub> , and [(t-Bu) <sub>2</sub> PO...H...OP(t-Bu) <sub>2</sub> ]PdCl <sub>2</sub> as catalysts. <i>J. Org. Chem.</i> , 67:3643-3650.	
	110	LOWE, et al. 1994. Aza-tricyclic substance P antagonists. <i>J. Med. Chem.</i> , 37:2831-2840.	
	111	MANSBACH, et al. 1988. Dopaminergic stimulation disrupts sensorimotor gating in the rat. <i>Psychopharmacology</i> , 94:507-514.	
	112	MAREK, et al. 2003. Synergistic action of 5-HT <sub>2A</sub> antagonists and selective serotonin reuptake inhibitors in neuropsychiatric disorders. <i>Neuropsychopharmacology</i> , 28:402-412.	
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SHEET 7 OF 10	Attorney Docket No.	ACADIA.030A

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	159	Notice of Allowance and Fee(s) Due and Notice of Allowability dated May 15, 1997, from Application No. 08/273,669 filed July 12, 1994, now U.S. Pat. No. 5,707,798.	
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